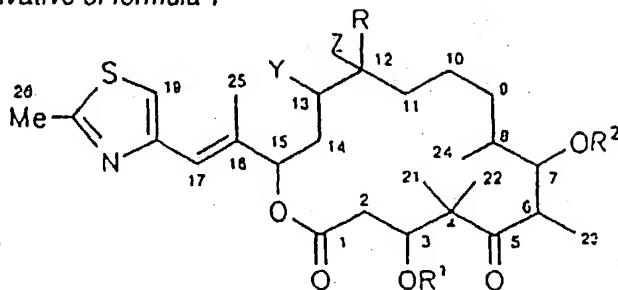


# Patent Claims

## 1. Epothilon derivative of formula 1

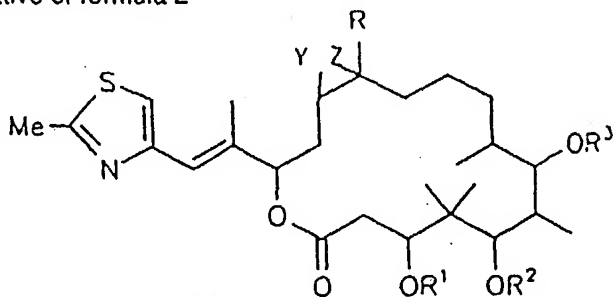


1

wherein

R = H, C<sub>1-4</sub>alkyl; R<sup>1</sup>, R<sup>2</sup> = H, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>acyl, benzoyl, C<sub>1-4</sub>trialkylsilyl, benzyl, phenyl, or benzyl or phenyl each substituted by C<sub>1-6</sub>alkoxy, C<sub>6</sub>alkyl, hydroxy or by halogen; and the alkyl and acyl groups contained in the radicals are straight-chain or branched radicals, and Y and Z are either identical or different and each represents hydrogen, halogen, pseudohalogen, OH, O-(C<sub>1-6</sub>)acyl, O-(C<sub>1-6</sub>)alkyl or O-benzoyl, or together form the O atom of an epoxy group or one of the C-C bonds of a C=C double bond, epothilon A and B being excluded.

## 2. Epothilon derivative of formula 2



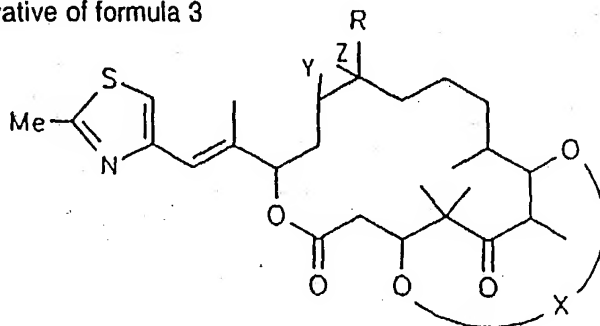
2

wherein

R = H, C<sub>1-4</sub>alkyl; R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> = H, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>acyl, benzoyl, C<sub>1-4</sub>trialkylsilyl, benzyl, phenyl, or benzyl or phenyl each substituted by C<sub>1-6</sub>alkoxy, C<sub>6</sub>alkyl, hydroxy or by

halogen; the alkyl and acyl groups contained in the radicals are straight-chain or branched radicals; and Y and Z are as defined according to claim 1.

3. Epothilon derivative of formula 3

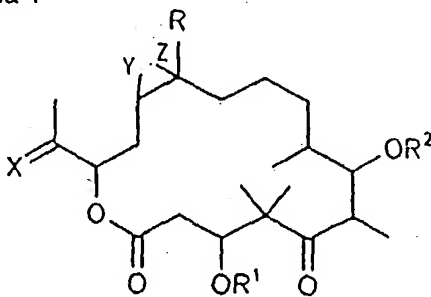


3

wherein

R = H, C<sub>1-4</sub>alkyl; R<sup>1</sup>, R<sup>2</sup> = H, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>acyl, benzoyl, C<sub>1-4</sub>trialkylsilyl, benzyl, phenyl, or benzyl or phenyl each substituted by C<sub>1-6</sub>alkoxy, C<sub>6</sub>alkyl, hydroxy or by halogen; the alkyl and acyl groups contained in the radicals are straight-chain or branched radicals, and X generally represents -C(O)-, -C(S)-, -S(O)-, -CR<sup>1</sup>R<sup>2</sup>- or -SiR<sub>2</sub>-, wherein R, R<sup>1</sup> and R<sup>2</sup> are as defined above and R<sup>1</sup> and R<sup>2</sup> may also together form an alkylene group having from 2 to 6 carbon atoms; and Y and Z are as defined according to claim 1.

4. Epothilon derivative of formula 4



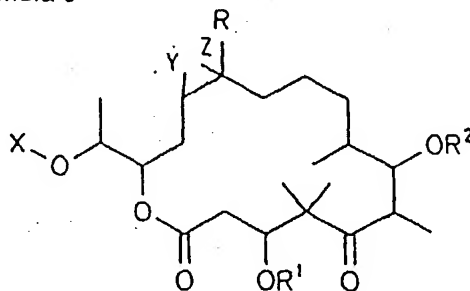
4

wherein

R = H, C<sub>1-4</sub>alkyl; R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> = H, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>acyl, benzoyl, C<sub>1-4</sub>trialkylsilyl, benzyl, phenyl, or benzyl or phenyl each substituted by C<sub>1-6</sub>alkoxy, C<sub>6</sub>alkyl, hydroxy or by halogen; the alkyl and acyl groups contained in the radicals are straight-chain or

branched radicals, X represents oxygen,  $\text{NOR}^3$ ,  $\text{N-NR}^4\text{R}^5$  or  $\text{N-NHCONR}^4\text{R}^5$ , wherein the radicals  $\text{R}^3$  to  $\text{R}^5$  are as defined above and  $\text{R}^4$  and  $\text{R}^5$  may also together form an alkylene group having from 2 to 6 carbon atoms; and Y and Z are as defined according to claim 1.

5. Epothilon derivative of formula 5

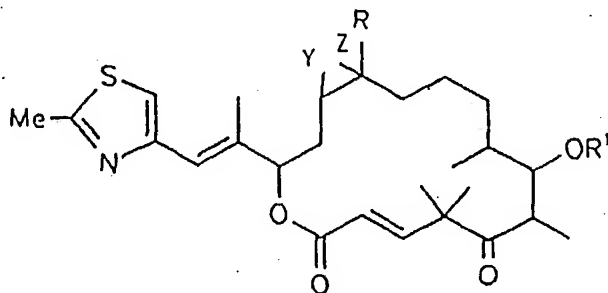


5

wherein

$\text{R} = \text{H}$ ,  $\text{C}_{1-4}$ alkyl;  $\text{R}^1$ ,  $\text{R}^2 = \text{H}$ ,  $\text{C}_{1-6}$ alkyl,  $\text{C}_{1-6}$ acyl, benzoyl,  $\text{C}_{1-4}$ trialkylsilyl, benzyl, phenyl, or benzyl or phenyl each substituted by  $\text{C}_{1-6}$ alkoxy,  $\text{C}_6$ alkyl, hydroxy or by halogen; the alkyl and acyl groups contained in the radicals are straight-chain or branched radicals, and X represents hydrogen,  $\text{C}_{1-18}$ alkyl,  $\text{C}_{1-18}$ acyl, benzyl, benzoyl or cinnamoyl, and Y and Z are as defined according to claim 1.

6. Epothilon derivative of formula 6



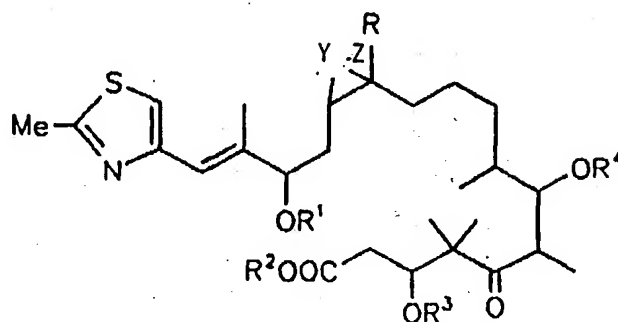
6

wherein

$\text{R} = \text{H}$ ,  $\text{C}_{1-4}$ alkyl and  $\text{R}^1 = \text{H}$ ,  $\text{C}_{1-6}$ alkyl,  $\text{C}_{1-6}$ acyl, benzoyl,  $\text{C}_{1-4}$ trialkylsilyl, benzyl, phenyl, or benzyl or phenyl each substituted by  $\text{C}_{1-6}$ alkoxy,  $\text{C}_6$ alkyl, hydroxy or by halogen; the

alkyl and acyl groups contained in the radicals are straight-chain or branched radicals; and Y and Z are as defined according to claim 1.

7. Epothilon derivative of formula 7



wherein

R = H, C<sub>1-4</sub>alkyl and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> = H, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>acyl, benzoyl, C<sub>1-4</sub>trialkylsilyl, benzyl, phenyl, or benzyl or phenyl each substituted by C<sub>1-6</sub>alkoxy, C<sub>6</sub>alkyl, hydroxy or by halogen; the alkyl and acyl groups contained in the radicals are straight-chain or branched radicals; and Y and Z are as defined according to claim 1.

8. Process for the preparation of an epothilon derivative of formula 7 according to claim 7, characterised in that epothilon A, epothilon B, a 3-OH-protected derivative thereof or a 7-OH-protected derivative thereof is

- (a) enzymatically hydrolysed, especially with an esterase or lipase, or
- (b) hydrolysed in an alkaline medium, especially with sodium hydroxide in a methanol/water mixture,

and the epothilon derivative of formula 7 is obtained and isolated.

9. Process for the preparation of an epothilon derivative of formula 1 according to claim 1, characterised in that an epothilon derivative of formula 7 according to claim 7 or in the form of the product of the process according to claim 8 is converted

- (a) according to the Yamaguchi method, or
- (b) according to the Corey method, or
- (c) according to the Kellogg method

to form the epothilon derivative of formula 1 and that conversion product is isolated.

10. Process for the preparation of epothilon A and/or 12,13-bisepi-epothilon A, characterised in that epothilon C is epoxidised, especially with dimethyldioxirane or with a peracid.
11. Process for the preparation of epothilon B and/or 12,13-bisepi-epothilon B, characterised in that epothilon D is epoxidised, especially with dimethyldioxirane or with a peracid.
12. Composition for plant protection in agriculture and forestry and/or in horticulture, consisting of one or more of the compounds according to any one of the preceding claims or of one or more of these compounds together with one or more common carrier(s) and/or diluent(s).
13. Therapeutic composition, especially for use as a cytostatic agent, consisting of one or more of the compounds according to one or more of claims 1 to 7 or of one or more of the compounds according to one or more of claims 1 to 7 together with one or more common carrier(s) and/or diluent(s).